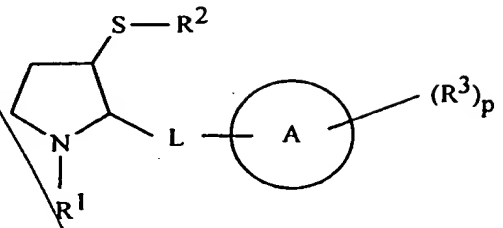
CLAIMS

1. A compound of the Formula I



Formula I

wherein:

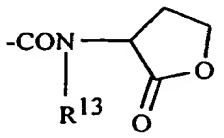
R^1 is selected from H; -C_{1-4}alkyl; -CO-C_{1-4}alkyl; -CO-O-C_{1-4}alkyl; -CO-O-C_{2-4}alkenyl; -C_{1-4}alkylene-$CONR^4R^5$ (wherein R^4 and R^5 are independently selected from H and C_{1-4}alkyl); -C_{1-4}alkylene-$COOR^6$ (wherein R^6 is selected from H and C_{1-4}alkyl); -C_{1-3}alkylene-Ph and -CO-O$(CH_2)_n$Ph wherein the phenyl groups in -C_{1-3}alkylene-Ph and -CO-O$(CH_2)_n$Ph are optionally substituted by R^a and/or R^b and R^a and R^b are independently selected from C_{1-4}alkyl, halogen, hydroxy, C_{1-4}alkoxy, C_{1-4}alkanoyl, C_{1-4}alkanoyloxy, amino, C_{1-4}alkylamino, di(C_{1-4}alkyl)amino, C_{1-4}alkanoylamino, nitro, cyano, carboxy, carbamoyl, C_{1-4}alkoxycarbonyl, thiol, C_{1-4}alkylsulfanyl, C_{1-4}alkylsulfinyl,C_{1-4}alkylsulfonyl and sulfonamido; and n=0-4;

R^2 is selected from H; -C_{1-4}alkyl; -COC_{1-4}alkyl; and -$COOC_{1-4}$alkyl; and -C_{1-3}alkylene-Ph optionally substituted on the phenyl ring by R^a and/or R^b;

R^3 is selected from H; OH; CN; CF_3; NO_2; -C_{1-4} alkyl; -C_{1-4}alkylene-R^7; -C_{2-4}alkenylene-R^7; -C_{2-4}alkynylene-R^7; R^7; OR^7 (where R^7 is selected from phenyl, naphthyl, a 5-10 membered monocyclic or bicyclic heteroaryl ring containing upto 5 heteroatoms selected from O,N and S and any aryl ring in R^7 is optionally substituted by R^a and/or R^b); C_{2-4}alkenyl; halogen; -$(CH_2)_nCOOR^8$ (where n' = 0-3 and R^8 represents H, C_{1-4}alkyl, or C_{2-4}alkenyl); -$CONR^9R^{10}$ (where R^9 and R^{10} independently represent H, C_{1-4}alkyl, C_{2-4}alkenyl, -O-C_{1-4}alkyl, -O-C_{2-4}alkenyl or -C_{1-3}alkylenePh (wherein Ph is optionally substituted by R^a and R^b as hereinabove defined);-$CON(R^{11})OR^{12}$ (where R^{11} and R^{12} independently represent H, C_{1-4}alkyl or C_{2-4}alkenyl);

a group of Formula II: -$CONR^{13}$-$CR^{13a}R^{14}$-$COOR^{17}$, (where R^{13} and R^{13a} are independently H or C_{1-4}alkyl, R^{17} is H or C_{1-6}alkyl, R^{14} is selected from the side chain of a lipophilic

amino acid, carbamoylC1-4alkyl, N-(monoC1-4alkyl)carbamoylC1-4alkyl and N-(diC1-4alkyl)carbamoylC1-4alkyl) the group of Formula II having L or D configuration at the chiral alpha carbon in the corresponding free amino acid; a lactone of formula:



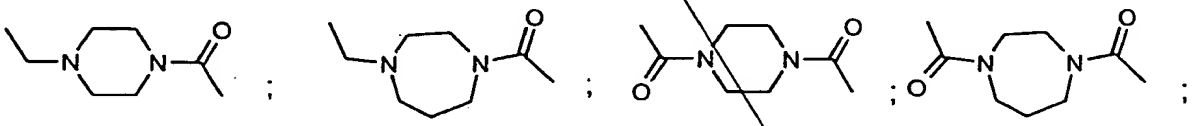
;

C_{1-4}alkyl monosubstituted on carbon with =N-OH;

a group of Formula -X-R^{15} (where X is selected from O, CO, CH_2, S, SO, SO_2 and R^{15} is selected from C_{1-6}alkyl, phenyl, naphthyl, a 5-10 membered monocyclic or bicyclic heteroaryl ring containing upto 5 heteroatoms selected from O,N and S and any aryl ring in R^{15} is optionally substituted by R^a and/or R^b;

p is 0-3 in which R^3 values can be the same or different;

L is a linking moiety selected from the following groups written from left to right in Formula I:



(wherein the piperazine and perhydro-1,4-diazepine rings are optionally substituted); -CO-NR^{16}-; -CH_2-NR^{16}- ; -CH_2S-; -CH_2O-; -CH_2-CHR^{16}; -CH=CR^{16}-; -CH_2NR^{16}-T-; -CH_2NR^{16}-SO_2-; -CH_2-NR^{16}-CO-T^1-; -CO-NR^{16}-T-; -CH_2S-T-; -CH_2O-T- (where R^{16} is selected from H, C_{1-4}alkyl, C_{1-4}alkylene-Z, -CO-C_{1-4}alkylene-Z, -CO-C_{1-6}alkyl, -COZ, Z and Z is selected from -O-C_{1-4}alkyl, phenyl, naphthyl, a 5-10 membered monocyclic or bicyclic heteroaryl ring containing upto 5 heteroatoms selected from O, N and S and any aryl ring in R^{16} is optionally substituted by R^a and/or R^b as hereinabove defined;

where, T represents -(CH_2)m- where m is 1-4 and T is optionally monosubstituted with any value of R^{16} other than H; and

where T^1 represents -$(CH_2)m^1$- wherein m^1 is 0-4 and T is optionally monosubstituted with any value of R^{16} other than H);

A is selected from phenyl; naphthyl; a 5-10 membered monocyclic or bicyclic heteroaryl ring containing upto 5 heteroatoms where the heteroatoms are independently selected from O, N & S;

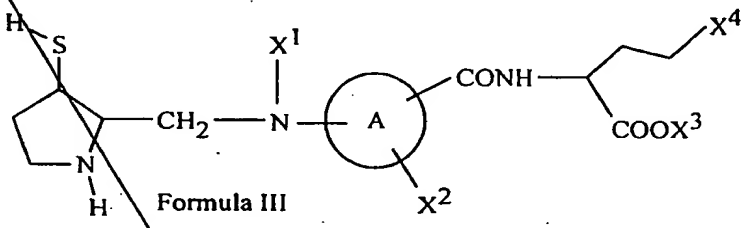
or a -S-S- dimer thereof when R^2=H; or a N-oxide thereof;

or a pharmaceutically acceptable salt, prodrug or solvate thereof.

2. A compound according to claim 1 wherein L is $-CH_2N(R^{16})-$ or $-CH_2N(R^{16})T-$.

3 A compound according to either claim 1 or claim 2 wherein A is phenyl or naphthyl.

4. A compound according to claim 1 of the formula (III):



Formula III

wherein:

X^1 is selected from H; C_{1-6}alkyl; hydroxyC_{1-6}alkyl, C_{1-6}alkoxyC_{1-6}alkyl; C_{1-6}alkylcarbonyl; hydroxyC_{1-6}alkylcarbonyl; C_{1-6}alkoxyC_{1-6}alkylcarbonyl;

A is selected from phenyl, naphthyl or a 5-10 membered heterocyclic ring having upto 5 heteroatoms selected from O, N and S;

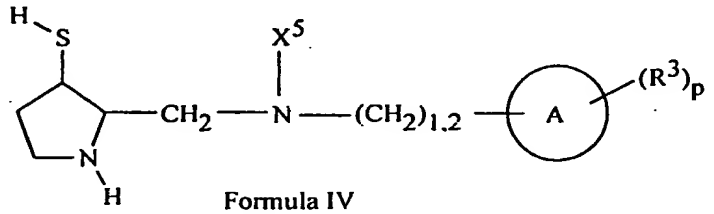
X^2 is selected from H; phenyl; phenylC_{1-6}alkyl, a 5-6 membered heteroaryl ring containing upto 3 heteroatoms selected from O, N and S optionally linked to A by C_{1-6}alkyl; and X^2 is optionally substituted on any ring by R^a and/or R^b as defined in claim 1;

X^3 is selected from H; C_{1-6}alkyl;

X^4 is selected from C_{1-6}alkylsulfanyl; C_{1-6}alkylsulfinyl; C_{1-6}alkylsulfonyl; carbamoyl; N-(C_{1-6}alkyl)carbamoyl; N-(diC_{1-6}alkyl)carbamoyl; and hydroxy or a C_{1-4}alkyl ether thereof;

or a N-oxide pharmaceutically-acceptable salt, prodrug or solvate thereof.

5. A compound according to claim 1 of the formula (IV):



Formula IV

wherein:

X^5 is selected from C_{1-4}alkyloxyC_{1-4}alkyl; -C_{1-4}alkylPh; -CO-C_{1-4}alkyl-Ph; -CO-C_{1-6}alkyl; -CO-C_{1-4}alkyl-heteroaryl where heteroaryl is a 5-10 membered heteroaryl ring containing upto 5 heteroatoms selected from O, N and S and Ph or heteroaryl are optionally substituted by R^a and/or R^b as defined in claim 1;

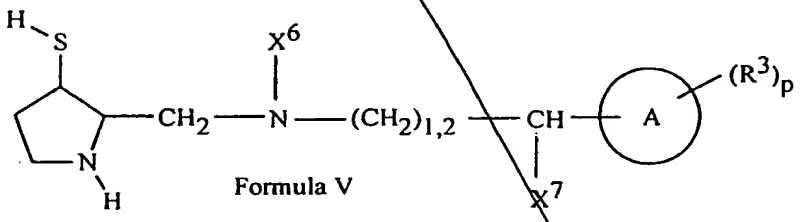
C_{1-4}alkyloxyC_{1-4}alkyl;

A is naphthyl or a 10 membered heteroaryl ring having upto 5 heteroatoms selected from O, N and S;

R^3 and p are as defined in claim 1;

or a N-oxide or a pharmaceutically-acceptable salt, prodrug or solvate thereof.

6. A compound according to claim 1 of the formula (V):



Formula V

wherein:

X^6 has any value defined for X^5 in claim 5;

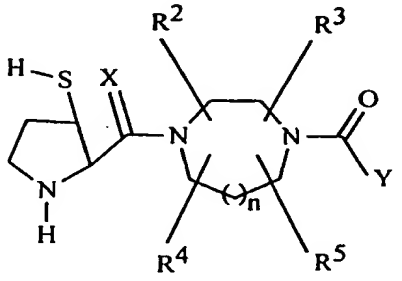
X^7 is Ph optionally substituted by R^a and/or R^b as defined in claim 1;

A is Ph or naphthyl or a 5-10 membered heteroaryl ring having upto 5 heteroatoms selected from O, N and S;

R^3 and p are as defined in claim 1;

or a N-oxide, or a pharmaceutically acceptable salt, prodrug or solvate thereof.

7. A compound of the formula A:



A

wherein:

X is O or H_2;

n is 0 or 1;

t is 1 to 4;

$R^{2'}$, $R^{3'}$, $R^{4'}$, and $R^{5'}$ are independently selected from: H; C_{1-8}alkyl, alkenyl, alkynyl, aryl, heterocycle, -CO-$NR^{6'}R^{7'}$ or -CO-$OR^{6'}$, unsubstituted or substituted with one or more of:

1) aryl or heterocycle, unsubstituted or substituted with:
   a. C_{1-4}alkyl,
   b. $(CH_2)_tOR^{6'}$,
   c. $(CH_2)_tNR^{6'}R^{7'}$,
   d. halogen,
2) C_{3-6}cycloalkyl,
3) $OR^{6'}$,
4) $SR^{6'}$, $S(O)R^{6'}$, $SO_2R^{6'}$,
5) -$NR^{6'}R^{7'}$,
6) -$NR^{6'}$-CO-$R^{7'}$,
7) -$NR^{6'}$-CO-$NR^{7'}R^{8'}$,
8) -O-CO-$NR^{6'}R^{7'}$,
9) -O-CO-$OR^{6'}$,
10) -O-$NR^{6'}R^{7'}$,
11) -$SO_2NR^{6'}R^{7'}$,
12) -$NR^{6'}$-SO_2-$R^{7'}$,
13) -CO-$R^{6'}$, or

14) -CO-OR$^{6'}$;

and any two of R$^{2'}$, R$^{3'}$, R$^{4'}$, and R$^{5'}$ are optionally attached to the same carbon atom;

Y is aryl, heterocycle, unsubstituted or substituted with one or more of:

1) C$_{1-4}$alkyl, unsubstituted or substituted with:
   a. C$_{1-4}$alkoxy,
   b. NR$^{6'}$R$^{7'}$,
   c. C$_{3-6}$cycloalkyl,
   d. aryl or heterocycle,
   e. HO,
2) aryl or heterocycle,
3) halogen,
4) OR$^{6'}$,
5) NR$^{6'}$R$^{7'}$,
6) CN
7) NO$_2$, or
8) CF$_3$;

R$^{6'}$, R$^{7'}$ and R$^{8'}$ are independently selected from: H; C$_{1-4}$alkyl, C$_{3-6}$cycloalkyl, heterocycle, aryl, aroyl, heteroaroyl, arylsulfonyl, heteroarylsulfonyl, unsubstituted or substituted with:

a) C$_{1-4}$alkoxy,
b) aryl or heterocycle,
c) halogen,
d) HO,
e) -CO-R$^{9'}$,
f) -SO$_2$R$^{9'}$, or
g) NRR^1, wherein

R$^{6'}$ and R$^{7'}$ may be joined in a ring, and
R$^{7'}$ and R$^{8'}$ may be joined in a ring;

R$^{9'}$ is C$_{1-4}$alkyl or aralkyl;

a pharmaceutically acceptable salt thereof.

8. A compound according to claim 1 ~~which is any one of the following individual compounds~~ or a pharmaceutically acceptable salt thereof: selected from the group consisting of.

(2S)-2-{2-benzyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester ;

(2S)-2-{2-benzyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid ;

(2S)-2-({2-phenyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-phenylcarbonyl}-amino)-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-({2-phenyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-phenylcarbonyl}-amino)-4-methylsulfanylbutyric acid;

(2S)-2-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl)amino]-naphthalene-1-carbonyl}-amino)-4-methylsulfanylbutyric acid methyl ester ;

(2S)-2-({3-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl)amino]-naphthalene-1-carbonyl}-amino)-4-methylsulfanylbutyric acid ;

(2S)-2-({-3-phenyl-5[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-phenylcarbonyl}-amino)-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-({-3-phenyl-5[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-phenylcarbonyl}-amino)-4-methylsulfanylbutyric acid;

(cis)-2-[{N-(4-methoxybenzyl)- N-(naphthalen-1-ylmethylamino}-methyl]-pyrrolidine-3-thiol ;

N-(naphthalen-1-ylmethyl)-N-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl)-pentanamide;

N-(naphthalen-1-ylmethyl)-N-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl)-2-(pyridin-3-yl)-acetamide ;

N-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-3-methyl-N-(2-naphthalen-1-yl- ethyl)butyramide ;

N-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-N-(2-naphthalen-1-yl-ethyl)-2-pyridin-3-yl-acetamide ;

(cis)-2-{[(3-methoxypropyl)-(2-naphthalen-1-ylethyl)amino]methyl}- pyrrolidine-3-thiol;

N-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-2-(4-methoxy-phenyl)-N-(2-naphthalen-2-yl-ethyl)-acetamide;

B4 cont

(cis)-2-{[(2-(4-methoxyphenyl)ethyl)-(2-naphthalen-1-ylethyl)amino] methyl}- pyrrolidine-3-thiol;

N-(2,2-diphenyl-ethyl)-N-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-3-methyl-butyramide ;

N-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-3,3-dimethyl-N-(2-naphthalen-2-yl-ethyl)-butyramide;

N-(2,2-diphenyl-ethyl)-N-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-3,3-dimethyl-butyramide;

(2S)-2-{3-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-(3-methoxy-propyl)-amino]-benzoylamino}-4-methylsulfanyl-butyric acid ;

N-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-3,3-dimethyl-N-(2-naphthalen-1-yl-ethyl)-butyramide;

(2S)-4-carbamoyl-2-({2-phenyl-5-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl}-amino)-butyric acid;

(2S)-4-carbamoyl-2-({2-phenyl-5-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl}-amino)-butyric acid methyl ester;

2-(3-pyridyl)-N-(2,2-diphenyl-ethyl)-N-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl)- acetamide;

6-methoxy-1-oxido-N-(2,2-diphenyl-ethyl)-N-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl]-pyridine-3-carboxamide;

N-(naphthyl-1-yl-ethyl)-N-[(cis)-3-sulfanylpyrrolidin-2yl-methyl)-thiazole-5-carboxamide;

6-methoxy-1-oxido-N-(naphthyl-1-yl-ethyl)-N-[cis)-3-sulfanylpyrrolidin-2-ylmethyl]-pyridine-3-carboxamide;

(2S)-2-{2-benzyl-4-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)amino]-benzoylamino}-4-methylsulfanyl-butyric acid;

(2S)-2-(2-methoxy-ethyl)-1-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-4-naphthoyl-piperazine;

(2S)-2-{2-benzyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl)amino]-benzoylamino}-4-methylsulfanylbutyric acid;

(2S)-2-{2-benzyl-4-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl)amino]-benzoylamino}-4-methylsulfanylbutyric acid;

(2S)-2-{2-phenethyl-5-[(trans)-3-sulfanylpyrrolidin-2-ylmethylaminobenzoylamino}-4-methylsulfanylbutyric acid;

(2S)-2-{phenethyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid;

(2S)-2-{2-benzyl-5-[(trans)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid;

(2S)-2-{2-(phenethyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid;

(2S)-2-{2-(4-methylphenylethynyl)-4-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid;

(2S)-2-{2-benzyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid isopropyl ester;

(2S)-2-{2-benzyl-4-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-{2-benzyl-4-[(trans)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-{2-benzyl-5-[(trans)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-{2-phenyl-5-[(trans)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-{2-phenyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-{2-benzyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-{2-(4-methylphenethyl)-4-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-{2-(4-methylphenylethynyl)-4-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl)amino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-(2-methoxyethyl)-1-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl)-4-(naphth-1-oyl)piperazine;

(cis)-2-[N-isovaleryl-N-(2-(napth-1-yl)ethyl)aminiomethyl]-3-sulfanylpyrrolidine;

(cis)-2-[N-(3-pyridylacetyl)-N-(naphth-1-yl)ethyl)aminomethyl]-3-sulfanylpyrrolidine;

(cis)-2-[N-1-oxido-6-methoxypyridin-3-ylcarbonyl) -N-(naphth-1-yl)ethyl)aminomethyl]-3-sulfanylpyrrolidine;

(cis)-2-[N-thiazol-5-ylcarbonyl) -N-(naphth-1-yl)ethyl)aminomethyl]-3-sulfanylpyrrolidine;

(2S)-2-[2-(4-fluorophenethyl)-4-[(cis)-3-sulfanyl)-pyrrolidin-2-ylmethylamino)benzoylamino]-4-methylsulfanylbutyric acid;

methyl (2S)-2-[2-(4-fluorophenethyl)-4-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino)benzoylamino]-4-methylsulfanylbutyrate;

(2S)-2-[2-(4-fluorophenethyl)-4-((2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethylamino)benzoylamino]-5-methylsulfanylbutyric acid;

(2S)-2-{2-Benzyl-5-[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester ;

(2S)-2-{2-Benzyl-5-[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-benzoylamino}-4-methylsulfanylbutyric acid ;

(2S)-2-({2-phenyl-5-[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl}-amino)-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-({2-phenyl-5-[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl}-amino)-4-methylsulfanylbutyric acid;

(2S)-2-({3-[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-naphthalene-1-carbonyl}-amino)-4-methylsulfanylbutyric acid methyl ester ;

(2S)-2-({3-[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-naphthalene-1-carbonyl}-amino)-4-methylsulfanylbutyric acid ;

(2S)-2-({-3-phenyl-5[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl}-amino)-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-({-3-phenyl-5[([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl}-amino)-4-methylsulfanylbutyric acid;

(2R,3R)-2-[{N-(4-methoxybenzyl)- N-(naphthalen-1-ylmethyl)-amino}-methyl]-pyrrolidine-3-thiol ;

N-(naphthalen-1-ylmethyl)-N-([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-pentanamide;

N-(naphthalen-1-ylmethyl)-N-([2R,3R]-3-sulfanylpyrrolidin-2-ylmethyl)-2-(pyridin-3-yl)-acetamide ;

N-((2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethyl)-3-methyl-N-(2-naphthalen-1-yl-ethyl)butyramide ;

N-([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-N-(2-naphthalen-1-yl-ethyl)-2-pyridin-3-yl-acetamide ;

(2R,3R)-2-{[(3-Methoxypropyl)-(2-naphthalen-1-ylethyl)amino]methyl}- pyrrolidine-3-thiol;

N-([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-2-(4-methoxy-phenyl)-N-(2-naphthalen-2-yl-ethyl)-acetamide ;

(2R,3R)-2-{[(2-(4-Methoxyphenyl)ethyl)-(2-naphthalen-1-ylethyl)amino] methyl}-pyrrolidine-3-thiol ;

N-(2,2-Diphenyl-ethyl)-N-([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-3-methyl-butyramide ;

N-([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-3,3-dimethyl-N-(2-naphthalen-2-yl-ethyl)-butyramide ;

N-(2,2-Diphenyl-ethyl)-N-([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-3,3-dimethyl-butyramide ;

(2S)-2-{3-[([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-(3-methoxy-propyl)-amino]-benzoylamino}-4-methylsulfanyl-butyric acid ;

N-([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-3,3-dimethyl-N-(2-naphthalen-1-yl-ethyl)-butyramide ;

(2S)-4-carbamoyl-2-({2-phenyl-5-[([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl}-amino)-butyric acid;

(2S)-4-carbamoyl-2-({2-phenyl-5-[([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl}-amino)-butyric acid methyl ester;

2-(3-pyridyl)-N-(2,2-diphenyl-ethyl)-N-((2R,3R)-3-sulfanylpyrrolidin-2-ylmethyl)-acetamide;

6-methoxy-1-oxido-N-(2,2-diphenyl-ethyl)-N-((2R,3R)-3-sulfanylpyrrolidin-2-ylmethyl)-pyridine-3-carboxamide;

N-(naphthyl-1-yl-ethyl)-N-([2R,3R]-3-sulfanylpyrrolidin-2yl-methyl)-thiazole-5-carboxamide;

6-methoxy-1-oxido-N-(naphthyl-1-yl-ethyl)-N-((2R,3R)-3-sulfanylpyrrolidin-2-ylmethyl)-pyridine-3-carboxamide;

(2S)-2-{2-benzyl-4-[([2R,3R]3-sulfanyl-pyrrolidin-2-ylmethyl)-amino]-benzoylamino}-4-methylsulfanyl-butyric acid; and

(2S)-2-(2-methoxy-ethyl)-1-([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-4-naphthoyl-piperazine.

a B4 a cont

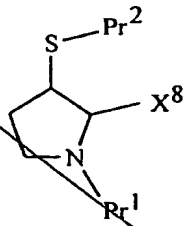
9. A pharmaceutical composition which comprises a compound according to ~~any one of~~ claims 1 ~~to 8~~ and a pharmaceutically-acceptable carrier.

10. A method of ~~inhibiting~~ farnesylation of mutant ras gene in a patient requiring such treatment by administering an effective amount of a compound of the formula (I) to the patient.

a mon. a2 11. A compound according to any one of claims 1 to 8 for use as a medicament.

12. A compound according to any one of ~~claims 1 to 8~~ for use in the preparation of a medicament for treatment of a disease mediated through fanesylation of ~~mutant ras~~.

13. A process for preparing compounds of the Formula I as defined in claim 1 which comprises deprotecting a compound of Formula VI:



Formula VI

wherein X^8 represents the right hand side of the Formula I as defined in claim 1, Pr^1 is H or an amino protecting group, Pr^2 is H or a thio protecting group and any functional groups in X^8 are optionally protected with the proviso that there is at least one protecting group and optionally, if desired, converting the product thus obtained into a pharmaceutically-acceptable salt thereof.

ins a3 acid B6 ans B4 acid c24